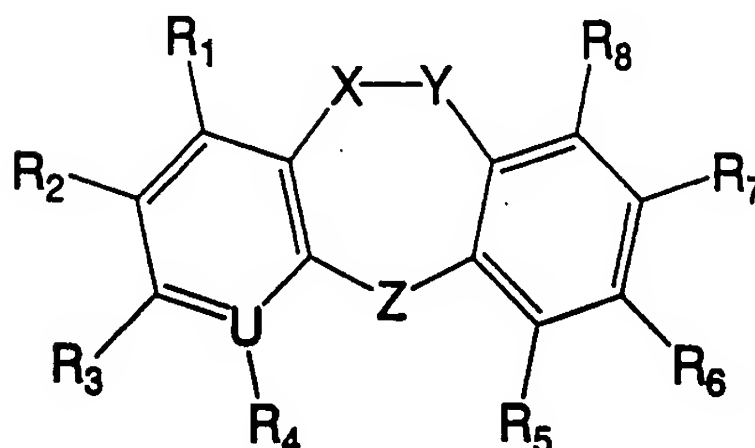


CLAIMS

1. A compound represented by formula (1),



(Formula 1)

wherein

when the X-Y bond is a single bond, X and Y, which may be the same or different, are each independently any one selected from the group consisting of CW_1W_2 (wherein W_1 and W_2 , which may be the same or different, are each independently any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group), C=O , and C=NOW_3 (wherein W_3 is a hydrogen atom or a lower alkyl group);

when the X-Y bond is a double bond, X and Y, which may be the same or different, are each independently CW_4 (wherein W_4 is any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group and an acyloxy group);

Z is any one selected from O, S, S=O and SO_2 ;

U is C or N;

R_1 to R_8 , which may be the same or different, are each independently any one selected from the group

consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_1W_5 (wherein V_1 is any one of O, S, S=O and SO_2 ; and W_5 is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group and a trihalomethyl group), a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle (when U is N, R_4 does not exist in some cases);

R_5 to R_8 , which may be the same or different, are each independently any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_2W_7 (wherein V_2 is any one selected from O, S, S=O and SO_2 ; and W_7 is any one selected from a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a

substituted lower alkylcarbonyl group and a trihalomethyl group), a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle;

provided that at least one of R_5 to R_8 is a hydroxyl group [provided that at least one of R_5 , R_7 or R_8 is a hydroxy group when the X-Y bond is $\text{CH}(\text{C}_2\text{H}_5)\text{CO}$ and R_6 is a hydroxyl group] when X is CHW_0 , CW_0W_0 or CW_0 (wherein W_0 is any one selected from a lower alkyl group and a substituted lower alkyl group) and at least one of R_5 to R_8 is a hydroxyl group and, at the same time, at least one of the other R_5 to R_8 is a group of OR (wherein R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group) when X is other than CHW_0 , CW_0W_0 or CW_0 (wherein W_0 is any one selected from a lower alkyl group and a substituted lower alkyl group);

in addition, when the X-Y is CH_2CH_2 , CHBrCH_2 , CH_2CO , CHBrCO , $\text{CH}=\text{CH}$, $\text{CH}=\text{COCOCH}_3$ or $\text{CH}=\text{COCH}_3$,

at least one of R_1 to R_4 is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle (provided that when both R_6 and R_7 are hydroxyl groups, any one of R_1 to R_4 is not a phenyl group); or

at least one of R_1 to R_4 is SW_8 (wherein W_8 is a lower alkyl group or a substituted lower alkyl group) or S(O)W_9 (wherein W_9 is a lower alkyl group or a substituted lower alkyl group) (provided that R_7 is a hydrogen atom when Z is

0); or

R_2 is either a lower alkyl group or a substituted lower alkyl group and, at the same time, R_8 is a hydroxyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is 0); or

at least one of R_1 to R_4 is a lower alkylcarbonyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more), a cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time, R_8 is a hydroxyl group; or

at least one of R_1 to R_4 is a cyano group; or

at least one of R_1 to R_4 is a halogen and, at the same time, Z is any one of S, S=O and SO₂; or

R_5 and R_6 are hydroxyl groups and, at the same time, Z is S; or

at least one of R_1 to R_4 is -C(=NOR)CH₃ (wherein R is a hydrogen atom or a lower alkyl group), an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof.

2. The compound according to claim 1, wherein R_6 is a hydroxyl group.

3. The compound according to claim 1, wherein R_6 and R_7 are hydroxyl groups.

4. The compound according to claim 1, wherein R_6 and R_8 are hydroxyl groups.

5. The compound according to claim 1, wherein R_5 and R_6 are hydroxyl groups.

6. The compound according to any one of claims 1 to 5,

wherein the X-Y bond is a single bond and X is CW_1W_2 (wherein at least one of W_1 and W_2 is any one selected from a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group) or the X-Y bond is a double bond and X is CW (wherein W is any one selected from a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group).

7. The compound according to any one of claims 1 to 6, wherein Y is CO.

8. The compound according to claim 6 or claim 7, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a n-propyl group, an isopropyl group, an n-butyl group, a sec-butyl group, an isobutyl group and a tert-butyl group.

9. The compound according to any one of claims 1 to 5, wherein R_2 or R_3 is any one of a heterocycle, a substituted heterocycle, an aromatic ring and a substituted aromatic ring.

10. The compound according to any one of claims 1 to 5, wherein the heterocycle is an aromatic heterocycle.

11. The compound according to any one of claims 1 to 5, wherein R_2 or R_3 is SW_6 (wherein W_6 is a lower alkyl group or a substituted lower alkyl group) or $S(O)W_6$ (wherein W_6 is a lower alkyl group or a substituted alkyl group).

12. The compound according to claim 11, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a n-propyl group, an isopropyl group, an n-butyl group, a sec-butyl group, an isobutyl group and a tert-

butyl group.

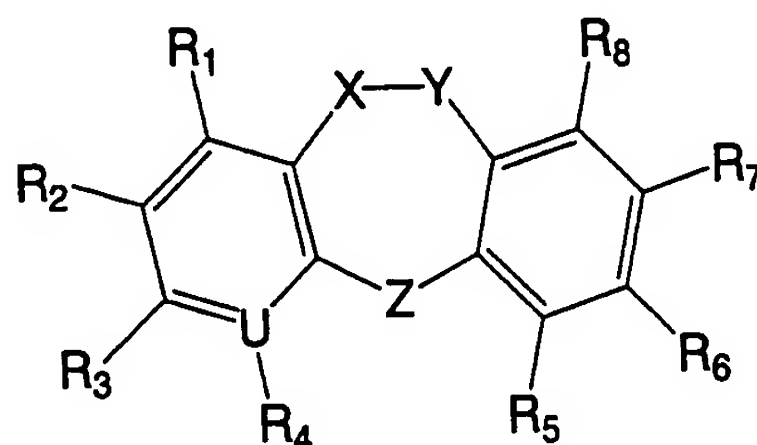
13. The compound according to any one of claims 1 to 12, wherein Z is S.

14. The compound according to claim 1 which is 7,8-dihydroxy-11-ethyl-10,11-dihydrodibenzo[b,f]thiepin-10-one.

15. The compound according to claim 1 which is 11-diethyl-7,8-dihydroxy-10,11-dihydrodibenzo[b,f]thiepin-10-one.

16. The compound according to claim 1 which is 7,9-dihydroxy-2-methylthio-10,11-dihydrodibenzo[b,f]thiepin-10-one.

17. A method of preparing a compound represented by formula (1),



(Formula 1)

wherein

when the X-Y bond is a single bond, X and Y, which may be the same or different, are each independently any one selected from the group consisting of CW₁W₂ (wherein W₁ and W₂, which may be the same or different, are each independently any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group), C=O, and C=NOW₃ (wherein W₃ is a

hydrogen atom or a lower alkyl group);

when the X-Y bond is a double bond, X and Y, which may be the same or different, are each independently CW₄ (wherein W₄ is any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group and an acyloxy group);

Z is any one selected from O, S, S=O and SO₂;

U is C or N;

R₁ to R₄, which may be the same or different, are each independently any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V₁W₅ (wherein V₁ is any one of O, S, S=O and SO₂; and W₅ is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group and a trihalomethyl group), a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle (when U is N, R₄ does not exist in some cases);

R₅ to R₈, which may be the same or different, are

each independently any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_2W , (wherein V_2 is any one selected from O, S, S=O and SO_2 ; and W, is any one selected from a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group and a trihalomethyl group), a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle;

provided that at least one of R_5 to R_8 is a hydroxyl group [provided that at least one of R_5 , R_7 or R_8 is a hydroxy group when the X-Y bond is $CH(C_2H_5)CO$ and R_6 is a hydroxyl group] when X is CHW_0 , CW_0W_0 or CW_0 (wherein W_0 is any one selected from a lower alkyl group and a substituted lower alkyl group) and at least one of R_5 to R_8 is a hydroxyl group and, at the same time, at least one of the other R_5 to R_8 is a group of OR (wherein R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group) when X is other than CHW_0 , CW_0W_0 or CW_0 (wherein W_0 is any one selected from a lower alkyl group and a substituted lower alkyl group);

in addition, when the X-Y is CH_2CH_2 , CHBrCH_2 , CH_2CO , CHBrCO , $\text{CH}=\text{CH}$, $\text{CH}=\text{COCOCH}_3$, or $\text{CH}=\text{COCH}_3$,

at least one of R_1 to R_4 is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle (provided that when both R_6 and R_7 are hydroxyl groups, any one of R_1 to R_4 is not a phenyl group); or

at least one of R_1 to R_4 is SW_8 (wherein W_8 is a lower alkyl group or a substituted lower alkyl group) or S(O)W_8 (wherein W_8 is a lower alkyl group or a substituted lower alkyl group) (provided that R_7 is a hydrogen atom when Z is O); or

R_2 is either a lower alkyl group or a substituted lower alkyl group and, at the same time, R_8 is a hydroxyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O); or

at least one of R_1 to R_4 is a lower alkylcarbonyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more), a cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time, R_8 is a hydroxyl group; or

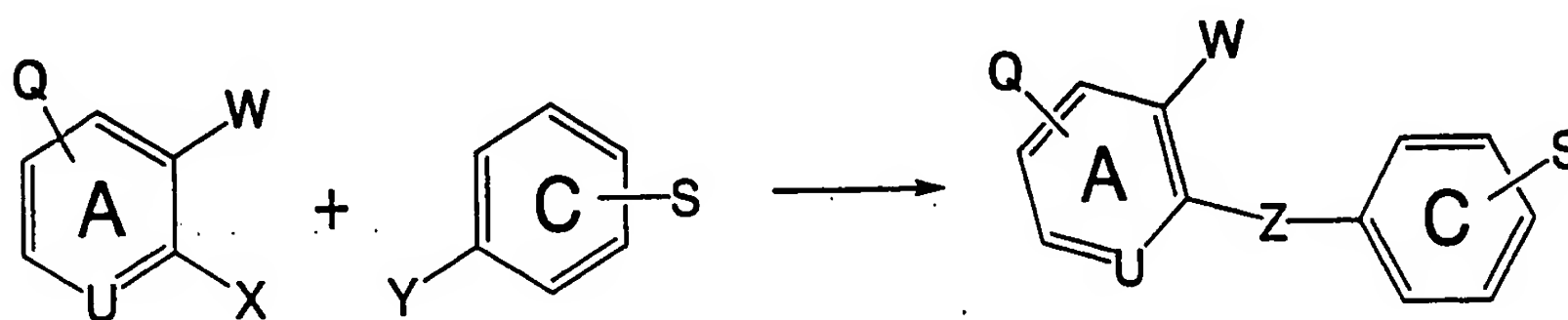
at least one of R_1 to R_4 is a cyano group; or

at least one of R_1 to R_4 is a halogen and, at the same time, Z is any one of S, S=O and SO_2 ; or

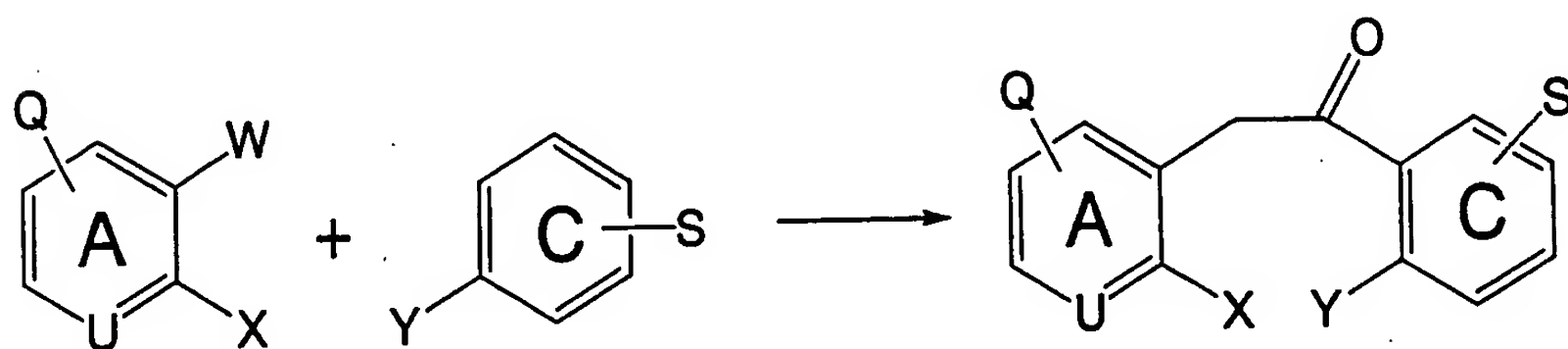
R_5 and R_6 are hydroxyl groups and, at the same time, Z is S; or

at least one of R_1 to R_4 is $-\text{C}(=\text{NOR})\text{CH}_3$ (wherein R is a hydrogen atom or a lower alkyl group), an optical isomer thereof, a conjugate thereof or a

pharmaceutically acceptable salt thereof,
 which comprises, in any order, the reaction steps of ①
 bonding a ring A to a ring C by the Ullmann reaction as
 shown in formula 2 and ② bonding a ring A to a ring C by
 the Friedel-Crafts reaction or photoreaction as shown in
 formula 3,



(Formula 2)



(Formula 3)

wherein

Q, S and W are each any substituent;

U is C or N;

one of X and Y is an leaving group and the other is
 a nucleophilic group; and

Z is any one of O, S, SO and SO₂.

18. The method according to claim 17 further comprising
 at least one step of the step of carbon atom increasing
 reaction, the step of conversion reaction of a substituent,
 the step of introduction reaction of a substituent, the

step of removal of the protection of a substituent, the step of forming a salt and the step of performing optical resolution.

19. A pharmaceutical composition comprising an effective amount of the compound described in any one of claims 1 to 16 and a pharmaceutically acceptable carrier or diluent.

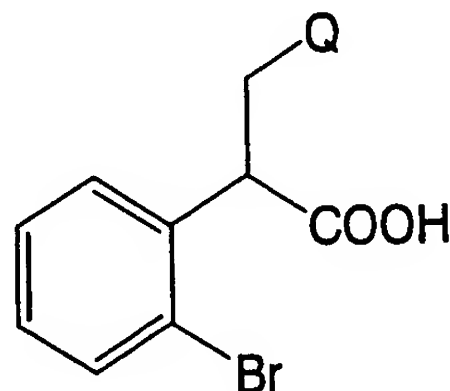
20. The pharmaceutical composition according to claim 19 which utilizes the tracheal smooth muscles relaxing action of the compound.

21. The pharmaceutical composition according to claim 19 which utilizes the inhibitory effect on airway hypersensitivity of the compound.

22. The pharmaceutical composition according to claim 19 which utilizes the inhibitory effect on inflammatory cells infiltration of the compound.

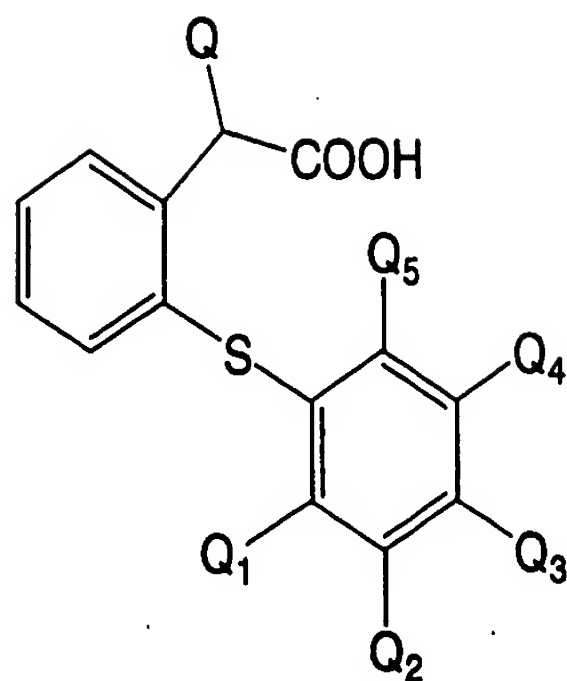
23. The pharmaceutical composition according to claim 19 which is used as the antiasthmatic drug.

24. A compound of the following formula,



wherein Q is a lower alkyl group, an optical isomer thereof or a salt thereof.

25. A compound of the following formula,



wherein

Q is a lower alkyl group; and

Q₁ to Q₅, which may be the same or different, are each independently any one selected from a hydrogen atom, a lower alkoxy group and a hydroxyl group, an optical isomer thereof or a salt thereof.